

blissing/097504884

8/23/01

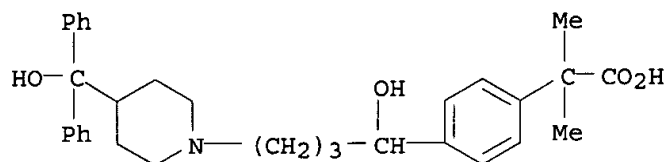
L1 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS  
RN 83799-24-0 REGISTRY  
CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]-.alpha.,.alpha.-dimethyl- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN Carboxyterfenadine  
CN **Fexofenadine**  
CN MDL 16455  
CN Terfenadine acid metabolite  
CN Terfenadine carboxylate  
FS 3D CONCORD  
DR 159389-12-5, 76815-58-2  
MF C32 H39 N O4  
CI COM  
LC STN Files: ADISINSIGHT, ADISNEWS, ANABSTR, BIOBUSINESS, BIOSIS, CA, CAPLUS, CASREACT, CBNB, CEN, CIN, CSCHM, DDFU, DIOGENES, DRUGNL, DRUGPAT, DRUGU, DRUGUPDATES, EMBASE, IPA, MEDLINE, MRCK\*, PROMT,

RTECS\*,

SYNTHLINE, TOXLINE, TOXLIT, USAN, USPATFULL  
(\*File contains numerically searchable property data)



125 REFERENCES IN FILE CA (1967 TO DATE)  
1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA  
125 REFERENCES IN FILE CAPLUS (1967 TO DATE)

4254129  
5939426

blessing/09834312

640 S L1

L3 4275 S FEXOFENADINE OR CARBOXYTERFENADINE OR MDL(W)16455 OR  
TERFENAD  
L4 49554 S NON(W)AQUEOUS  
L5 144719 S PROPYLENE GLYCOL OR GLYFUROL OR TETRAGLYCOL  
L6 80040 S CYCLODEXTRIN  
L7 0 S HYDROXYPROPYL!!!CYCLODEXTRIN  
L8 2 S HYDROXYPROPYL!CYCLODEXTRIN  
L9 7 S L3 AND L4 AND L5  
L10 7 DUP REM L9 (0 DUPLICATES REMOVED)

blessing/09834312

L9 ANSWER 1 OF 7 USPATFULL

ACCESSION NUMBER: 2001:93131 USPATFULL  
TITLE: Solid carriers for improved delivery of active  
ingredients in pharmaceutical compositions  
INVENTOR(S): Patel, Mahesh V., Salt Lake City, UT, United States  
Chen, Feng-Jing, Salt Lake City, UT, United States  
PATENT ASSIGNEE(S): Lipocine, Inc., Salt Lake City, UT, United States  
(U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6248363	B1	20010619
APPLICATION INFO.:	US 1999-447690		19991123 (9)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	GRANTED		
PRIMARY EXAMINER:	Spear, James M.		
LEGAL REPRESENTATIVE:	Reed, Dianne E. Reed & Associates		
NUMBER OF CLAIMS:	57		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	4 Drawing Figure(s); 4 Drawing Page(s)		
LINE COUNT:	3302		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides solid pharmaceutical compositions for improved delivery of a wide variety of pharmaceutical active ingredients contained therein or separately administered. In one embodiment, the solid pharmaceutical composition includes a solid carrier, the solid carrier including a substrate and an encapsulation coat on the substrate. The encapsulation coat can include different combinations of pharmaceutical active ingredients, hydrophilic surfactant, lipophilic surfactants and triglycerides. In another embodiment, the solid pharmaceutical composition includes a solid carrier, the solid carrier being formed of different combinations of pharmaceutical active ingredients, hydrophilic surfactants, lipophilic surfactants and triglycerides. The compositions of the present invention can be used for improved delivery of hydrophilic or hydrophobic pharmaceutical active ingredients, such as drugs, nutrionals, cosmeceuticals and diagnostic agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 2 OF 7 USPATFULL

ACCESSION NUMBER: 2001:90260 USPATFULL  
TITLE: Fatty acid-pharmaceutical agent conjugates  
INVENTOR(S): Webb, Nigel L., Bryn Mawr, PA, United States  
Bradley, Matthews O., Laytonsville, MD, United States  
Swindell, Charles S., Merion, PA, United States  
Shashoua, Victor E., Brookline, MA, United States

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2001002404	A1	20010531
APPLICATION INFO.:	US 2000-730450	A1	20001205 (9)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1996-651428, filed on 22		

blessing/09834312

May 1996, ABANDONED  
DOCUMENT TYPE: Utility  
FILE SEGMENT: APPLICATION  
LEGAL REPRESENTATIVE: Edward R. Gates, Wolf, Greenfield & Sacks, P.C., 600  
Atlantic Avenue, Boston, MA, 02210  
NUMBER OF CLAIMS: 12  
EXEMPLARY CLAIM: 1  
NUMBER OF DRAWINGS: 14 Drawing Page(s)  
LINE COUNT: 2511  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
AB The invention provides conjugates of fatty acids and pharmaceutical  
agents useful in treating noncentral nervous system conditions. Methods  
for selectively targeting pharmaceutical agents to desired tissues are  
provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 3 OF 7 USPATFULL  
ACCESSION NUMBER: 1999:96377 USPATFULL  
TITLE: Methods for treating urinary incontinence using  
descarboethoxyloratadine  
INVENTOR(S): McCullough, John R., Worcester, MA, United States  
PATENT ASSIGNEE(S): Sepracor Inc., Marlborough, MA, United States (U.S.  
corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5939426		19990817
APPLICATION INFO.:	US 1997-808116		19970228 (8)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Moezie, Minna		
LEGAL REPRESENTATIVE:	Pennie & Edmonds LLP		
NUMBER OF CLAIMS:	7		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	2 Drawing Figure(s); 1 Drawing Page(s)		
LINE COUNT:	1145		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
AB Methods for treating urinary incontinence comprising administering a  
therapeutically effective amount of descarboethoxyloratadine, or a  
pharmaceutically acceptable salt thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 4 OF 7 USPATFULL  
ACCESSION NUMBER: 1999:4908 USPATFULL  
TITLE: Isoxazole compounds as cyclooxygenase inhibitors  
INVENTOR(S): Talley, John J, Brentwood, MO, United States  
PATENT ASSIGNEE(S): G. D. Searle & Co., Skokie, IL, United States (U.S.  
corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5859257		19990112
APPLICATION INFO.:	US 1996-702417		19960814 (8)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1995-473884, filed on 7 Jun 1995, now patented, Pat. No. US 5633272 which is a continuation-in-part of Ser. No. US 1995-387680,		

blessing/09834312

DOCUMENT TYPE: Utility  
FILE SEGMENT: Granted  
PRIMARY EXAMINER: Richter, Johann  
ASSISTANT EXAMINER: Stockton, Laura L.  
LEGAL REPRESENTATIVE: Bullock, Joseph W.  
NUMBER OF CLAIMS: 8  
EXEMPLARY CLAIM: 1  
LINE COUNT: 4582

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A class of substituted isoxazolyl compounds is described for use in treating cyclooxygenase-2 related disorders. Compounds of particular interest are defined by Formula I ##STR1## wherein R.sup.1, R.sup.2, and R.sup.3, are described in the specification.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 5 OF 7 USPATFULL

ACCESSION NUMBER: 1998:98932 USPATFULL  
TITLE: DHA-pharmaceutical agent conjugates of taxanes  
INVENTOR(S): Shashoua, Victor E., Brookline, MA, United States  
Swindell, Charles S., Merion, PA, United States  
Webb, Nigel L., Bryn Mawr, PA, United States  
Bradley, Matthews O., Laytonsville, MD, United States  
PATENT ASSIGNEE(S): Neuromedica, Inc., Conshohocken, PA, United States  
(U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5795909		19980818
APPLICATION INFO.:	US 1996-651312		19960522 (8)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Jarvis, William R. A.		
LEGAL REPRESENTATIVE:	Wolf, Greenfield & Sacks, P.C.		
NUMBER OF CLAIMS:	12		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	27 Drawing Figure(s); 14 Drawing Page(s)		
LINE COUNT:	2451		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention provides conjugates of cis-docosahexaenoic acid and taxanes useful in treating cell proliferative disorders. Conjugates of paclitaxel and docetaxel are preferred.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 6 OF 7 USPATFULL

ACCESSION NUMBER: 95:52339 USPATFULL  
TITLE: Modified gangliosides and the functional derivatives thereof  
INVENTOR(S): Della Valle, Francesco, Padua, Italy  
Romeo, Aurelio, Rome, Italy  
PATENT ASSIGNEE(S): Fidia S.p.A., Abano Terme, Italy (non-U.S. corporation)

NUMBER	KIND	DATE
-----	-----	-----

blessing/09834312

PATENT INFORMATION: US 5424294 19950613  
APPLICATION INFO.: US 1993-138184 19931020 (8)  
RELATED APPLN. INFO.: Division of Ser. No. US 1990-611700, filed on 13 Nov  
1990, now patented, Pat. No. US 5264424

	NUMBER	DATE
PRIORITY INFORMATION:	IT 1989-4855489	19891114
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Robinson, Douglas W.	
ASSISTANT EXAMINER:	Fonda, Kathleen Kahler	
NUMBER OF CLAIMS:	2	
EXEMPLARY CLAIM:	1	
LINE COUNT:	2605	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB N-acyl-N,N'-di-lysogangliosides, N'-acyl-N,N'-di-lysogangliosides and N,N'-diacyl-N,N'-di-lysogangliosides, in which the acyl groups are derived from an organic acid of the aliphatic, aromatic, araliphatic, alicyclic or heterocyclic series and in which at least one of the two acyl groups is not aliphatic, and their preparation are disclosed. Also disclosed is the preparation of the esters, inner esters, amides and hydroxy peracylates of these compounds and salts thereof. These compounds are useful in the treatment of pathologies of the central and peripheral nervous systems.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 7 OF 7 USPATFULL  
ACCESSION NUMBER: 93:98368 USPATFULL  
TITLE: Modified gangliosides and the functional derivatives thereof  
INVENTOR(S): Della Valle, Francesco, Padova, Italy  
Romeo, Aurelio, Rome, Italy  
PATENT ASSIGNEE(S): Fidia S.p.A., Abano Terme, Italy (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5264424		19931123
APPLICATION INFO.:	US 1990-611700		19901113 (7)

	NUMBER	DATE
PRIORITY INFORMATION:	IT 1990-4855489	19901113
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Husarik, Nancy S.	
NUMBER OF CLAIMS:	4	
EXEMPLARY CLAIM:	1,3	
LINE COUNT:	2552	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB N-acyl-N,N'-di-lysogangliosides, N'-acyl-N,N'-di-lysogangliosides and N,N'-diacyl-N,N'-di-lysogangliosides, in which the acyl groups are derived from an organic acid of the aliphatic, aromatic, araliphatic, alicyclic or heterocyclic series and in which at least one of the two acyl groups is not aliphatic, and their preparation are disclosed. Also disclosed is the preparation of the esters, inner esters, amides and

blissing/09834312

hydroxy peracylates of these compounds and salts thereof. These compounds are useful in the treatment of pathologies of the central and peripheral nervous systems.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

=> s kwic l9 1-7

MISSING OPERATOR KWIC L9

The search profile that was entered contains terms or nested terms that are not separated by a logical operator.

=> s ibib kwic l9 1-7

MISSING OPERATOR KWIC L9

The search profile that was entered contains terms or nested terms that are not separated by a logical operator.

=> d ibib abs kwic l9 1-7

L9 ANSWER 1 OF 7 USPATFULL

ACCESSION NUMBER: 2001:93131 USPATFULL

TITLE: Solid carriers for improved delivery of active ingredients in pharmaceutical compositions  
INVENTOR(S): Patel, Mahesh V., Salt Lake City, UT, United States  
Chen, Feng-Jing, Salt Lake City, UT, United States

PATENT ASSIGNEE(S): Lipocine, Inc., Salt Lake City, UT, United States  
(U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6248363	B1	20010619
APPLICATION INFO.:	US 1999-447690		19991123 (9)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	GRANTED		
PRIMARY EXAMINER:	Spear, James M.		
LEGAL REPRESENTATIVE:	Reed, Dianne E. Reed & Associates		
NUMBER OF CLAIMS:	57		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	4 Drawing Figure(s); 4 Drawing Page(s)		
LINE COUNT:	3302		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides solid pharmaceutical compositions for improved delivery of a wide variety of pharmaceutical active ingredients

contained therein or separately administered. In one embodiment, the solid pharmaceutical composition includes a solid carrier, the solid carrier including a substrate and an encapsulation coat on the substrate. The encapsulation coat can include different combinations of pharmaceutical active ingredients, hydrophilic surfactant, lipophilic surfactants and triglycerides. In another embodiment, the solid pharmaceutical composition includes a solid carrier, the solid carrier being formed of different combinations of pharmaceutical active ingredients, hydrophilic surfactants, lipophilic surfactants and triglycerides. The compositions of the present invention can be used

for

improved delivery of hydrophilic or hydrophobic pharmaceutical active ingredients, such as drugs, nutrionals, cosmeceuticals and diagnostic

blessing/09834312

agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

DETD . . . digoxin, dihydro epiandrosterone, dihydroergotamine, dihydrotachysterol, dirithromycin, donepezil, efavirenz, eposartan, ergocalciferol, ergotamine, essential fatty acid sources, etodolac, etoposide, famotidine, fenofibrate, fentanyl, **fexofenadine**, finasteride, flucanazole, flurbiprofen, fluvastatin, fosphenytion, frovatriptan, furazolidone, gabapentin, gemfibrozil, glibenclamide, glipizide, glyburide, glymepride, griseofulvin, halofantrine, ibuprofen,

irbesartan, irinotecan, isosorbide dinitrate. . .

DETD . . . diclofenac, digoxin, dihydro epiandrosterone, dihydroergotamine, dihydrotachysterol, dirithromycin, donepezil, efavirenz, ergocalciferol, ergotamine, essential fatty acid sources, etodolac, etoposide, famotidine, fenofibrate, fentanyl, **fexofenadine**, finasteride, flucanazole, flurbiprofen, fluvastatin, fosphenytion, frovatriptan, furzolidone, gabapentin, gemfibrozil, glibenclamide, glipizide, glyburide, glymepride, griseofulvin, halofantrine, ibuprofen, irinotecan, isotreinoin, itraconazole, ivermectin, . . .

DETD . . . cyclosporine, danazol, dantrolene, dexchlorpheniramine, diclofenac, dihydro epiandrosterone, dihydroergotamine, dihydrotachysterol, efavirenz, ergocalciferol, ergotamine, essential fatty acid sources, etodolac, etoposide, famotidine, fenofibrate, **fexofenadine**, finasteride, flucanazole, flurbiprofen, fosphenytion, frovatriptan, furzolidone, glibenclamide, glipizide, glyburide, glymepride, ibuprofen, irinotecan, isotreinoin, itraconazole,

ivermectin, ketoconazole, ketorolac, lamotrigine, lanosprazole, leflunomide, . . .

DETD Most preferred hydrophobic active ingredients include: amlodipine, amprenavir, atorvastatin, atovaquone, celecoxib, cisapride, coenzyme Q10, cyclosporine, famotidine, fenofibrate, **fexofenadine**, finasteride, ibuprofen, itraconazole, lanosprazole, loratadine, lovastatin, megestrol acetate, montelukast, nabumetone, nizatidine, omeprazole, oxaprozin, paclitaxel, paricalcitol, pioglitazone, pranlukast, progesterone, pseudo-ephedrine, rabeprazole, . . .

DETD . . . as corn oil, olive oil, peanut oil, palm kernel oil, apricot kernel oil, or almond oil. Preferred alcohols include glycerol, **propylene glycol**, ethylene glycol, polyethylene glycol, sorbitol, and pentaerythritol. Representative surfactants of this class suitable for use in the present invention are. . .

DETD 2.7. **Propylene Glycol Fatty Acid Esters**

DETD Esters of **propylene glycol** and fatty acids are suitable surfactants for use in the present invention. Examples of surfactants of this class are given. . .

DETD TABLE 7

**Propylene Glycol Fatty Acid Esters**

COMPOUND COMMERCIAL PRODUCT (Supplier)

HLB

**Propylene glycol** monocaprylate Capryol 90 (Gaffefosse), Nikkol Sefsol 218 (Nikko) <10

**Propylene glycol** monolaurate Lauroglycol 90 (Gattefosse), Lauroglycol FCC (Gattefosse) <10

**Propylene glycol** oleate Lutrol OP2000 (BASF) <10

**Propylene glycol** myristate Mirpyl



blissing/09834312

<10  
**Propylene glycol** monostearate ADM PGME-03 (ADM), LIPO PGMS  
(Lipo Chem.), Aldo .RTM. 3-4  
PGHMS (Lonza)  
**Propylene glycol** hydroxy  
<10  
stearate  
**Propylene glycol** ricinoleate PROPYMULS (Henkel)  
**Propylene glycol** isostearate <10  
**Propylene glycol** monooleate Myverol P-06 (Eastman)  
<10  
**Propylene glycol** Captex .RTM. 200 (ABITEC),  
Miglyol .RTM. 840 (Huls), Neobee .RTM. M-20 >6  
dicaprylate/dicaprate (Stepan)  
**Propylene glycol** dioctanoate Captex .RTM. 800 (ABITEC)  
>6  
**Propylene glycol** LABRAFAC PG (Gattefosse)  
>6  
caprylate/caprate  
**Propylene glycol** dilaurate  
>6  
**Propylene glycol** distearate Kessco .RTM. PGDS (Stepan)  
>6  
**Propylene glycol** dicaprylate Nikkol Sefsot 223 (Nikko)  
>6  
**Propylene glycol** dicaprate Nikkol PDD (Nikko)  
>6  
DETD 2.8. Mixtures of **Propylene Glycol** Esters--Glycerol  
Esters  
DETD In general, mixtures of surfactants are also suitable for use in the  
present invention. In particular, mixtures of **propylene**  
**glycol** fatty acid esters and glycerol fatty acid esters are  
suitable and are commercially available. Examples of these surfactants  
are shown. . . .  
DETD TABLE 8  
Glycerol/**Propylene Glycol** Fatty Acid Esters  
COMPOUND COMMERCIAL PRODUCT (Supplier) HLB  
Oleic ATMOS 300, ARLACEL 186 (ICI) 3-4  
Stearic ATMOS 150 3-4  
DETD . . . phosphoric acid or anhydride  
CARBOXYLATES  
Ether carboxylates (by oxidation of terminal  
OH group of fatty alcohol ethoxylates)  
Succinylated monoglycerides [LAMEGIN ZE (Henkel)]  
Sodium stearyl filmarate  
Stearoyl **propylene glycol** hydrogen succinate  
Mono/diacetylated tartaric acid esters of mono- and diglycerides  
Citric acid esters of mono-, diglycerides  
Glyceryl-lacto esters of fatty acids (CFR ref. 172.352)  
Acyl lactylates:  
lactylic esters of fatty acids  
calcium/sodium stearoyl-2-lactylate  
calcium/sodium stearoyl lactylate  
Alginate salts  
**Propylene glycol** alginate  
SULFATES AND SULFONATES  
Ethoxylated alkyl sulfates  
Alkyl benzene sulfones

blessing/09834312

.alpha.-olefin sulfonates

Acyl isethionates

Acyl taurates

Alkyl glyceryl ether sulfonates

Octyl sulfosuccinate disodium

Disodium undecylenamideo-MEA-sulfosuccinate

CATIONIC Surfactants

>10

Lauroyl carnitine

Palmitoyl carnitine

Myristoyl. . .

DETD . . . reaction, along with often complex mixtures of other reaction products. The polyol is preferably glycerol, ethylene glycol, polyethylene glycol, sorbitol, **propylene glycol**, pentaerythritol, or a saccharide.

DETD . . . oligopeptides, and polypeptides; acyl lactylates; mono-, diacetylated tartaric acid esters of mono-, diglycerides; succinylated monoglycerides; citric acid esters of mono-, diglycerides; alginate salts; **propylene glycol** alginate; lecithins and hydrogenated lecithins; lysolecithin and hydrogenated

lysolecithins;

lysophospholipids and derivatives thereof; phospholipids and derivatives

thereof; salts of alkylsulfates; . . .

DETD . . . acids esters; polyethylene glycol glycerol fatty acid esters; polypropylene glycol fatty acid esters; polyoxyethylene glycerides; lactic acid derivatives of mono/diglycerides; **propylene glycol** diglycerides; sorbitan fatty acid esters; polyoxyethylene sorbitan fatty acid esters; polyoxyethylene-polyoxypropylene block copolymers; transesterified vegetable oils; sterols; sterol derivatives;

sugar. . .

DETD More preferred are lower alcohol fatty acids esters; polypropylene glycol fatty acid esters; **propylene glycol** fatty acid esters; glycerol fatty acid esters; acetylated glycerol fatty acid esters; lactic acid derivatives of mono/diglycerides; sorbitan fatty acid. . .

DETD . . . mixture of polyols and fatty acids, glycerides, vegetable oils,

hydrogenated vegetable oils, and sterols. Preferred polyols are polyethylene glycol, sorbitol, **propylene glycol**, and pentaerythritol.

DETD alcohols and polyols, such as ethanol, isopropanol, butanol, benzyl alcohol, ethylene glycol, **propylene glycol**, butanediols and isomers thereof, glycerol, pentaerythritol, sorbitol, mannitol, transcitol, dimethyl isosorbide, polyethylene glycol, polypropylene glycol, polyvinylalcohol, hydroxypropyl methylcellulose and other. . .

DETD . . . about 200 to about 6000, such as tetrahydrofurfuryl alcohol

PEG

ether (glycofurool, available commercially from BASF under the trade name

**Tetraglycol**) or methoxy PEG (Union Carbide);

DETD esters, such as ethyl propionate, tributylcitrate, acetyl triethylcitrate, acetyl tributyl citrate, triethylcitrate, ethyl

oleate,

ethyl caprylate, ethyl butyrate, triacetin, **propylene glycol** monoacetate, **propylene glycol** diacetate, .epsilon.-caprolactone and isomers thereof,

.delta.-valerolactone and isomers thereof, .beta.-butyrolactone and isomers thereof;

DETD . . . triacetin, triethylcitrate, ethyl oleate, ethyl caprylate, dimethylacetamide, N-methylpyrrolidone, N-hydroxyethylpyrrolidone, polyvinylpyrrolidone, hydroxypropyl methylcellulose, hydroxypropyl cyclodextrins, ethanol, polyethylene glycol 200-600, glycofurool, transcutool, **propylene glycol**, and dimethyl isosorbide. Particularly preferred solubilizers include sorbitol, glycerol, triacetin, ethyl alcohol, PEG-400, glycofurool and **propylene glycol**.

DETD plasticizers, such as polyethylene glycol, citrate esters (e.g., triethyl citrate, acetyl triethyl citrate, acetyltributyl citrate), acetylated monoglycerides, glycerin, triacetin, **propylene glycol**, phthalate esters (e.g., diethyl phthalate, dibutyl phthalate), castor oil, sorbitol and dibutyl seccate;

DETD . . . acetyl triethyl citrate (Citroflex A2), Carbowax 400 (polyethylene glycol 400), diethyl phthalate, tributyl citrate, acetylated monoglycerides, glycerol, fatty acid esters, **propylene glycol**, and dibutyl phthalate. In particular, anionic carboxylic acrylic polymers usually will contain 10-25% by weight of a plasticizer, especially dibutyl. . . .

DETD . . . is particularly suitable for heat labile substances, since ambient temperature is used to dry, and for moisture sensitive substances, since **non-aqueous** compositions can be utilized. Spray congealing is similar to spray drying, except that no solvent is utilized. Spray congealing is. . . .

CLM What is claimed is:

. . . oligopeptides, and polypeptides; acyl lactylates; mono-,diacetylated tartaric acid esters of mono-,diglycerides; succinylated monoglycerides; citric acid esters of mono-,diglycerides; alginate salts; **propylene glycol** alginate; lecithins and hydrogenated lecithins; lysolecithin and hydrogenated lysolecithins; lysophospholipids and derivatives thereof; phospholipids and derivatives thereof; salts of alkylsulfates;. . . .

. . . acids esters; polyethylene glycol glycerol fatty acid esters; polypropylene glycol fatty acid esters; polyoxyethylene glycerides; lactic acid derivatives of mono/diglycerides; **propylene glycol** diglycerides; sorbitan fatty acid esters; polyoxyethylene sorbitan fatty acid esters; polyoxyethylene-polyoxypropylene block copolymers; transesterified vegetable oils; sterols; sterol derivatives; sugar. . . .

. . . oligopeptides, and polypeptides; acyl lactylates; mono-,diacetylated tartaric acid esters of mono-,diglycerides; succinylated monoglycerides; citric acid esters of mono-,diglycerides; alginate salts; **propylene glycol** alginate; lecithins and hydrogenated lecithins; lysolecithin and hydrogenated lysolecithins; lysophospholipids and derivatives thereof; phospholipids and derivatives thereof; salts of alkylsulfates;. . . .

. . . acids esters; polyethylene glycol glycerol fatty acid esters; polypropylene glycol fatty acid esters; polyoxyethylene glycerides; lactic acid derivatives of mono/diglycerides; **propylene glycol** diglycerides; sorbitan fatty acid esters; polyoxyethylene sorbitan fatty acid esters; polyoxyethylene-polyoxypropylene block

blessing/09834312

copolymers; transesterified vegetable oils; sterols; sterol  
derivatives;  
sugar. . .

L9 ANSWER 2 OF 7 USPATFULL

ACCESSION NUMBER: 2001:90260 USPATFULL  
TITLE: Fatty acid-pharmaceutical agent conjugates  
INVENTOR(S): Webb, Nigel L., Bryn Mawr, PA, United States  
Bradley, Matthews O., Laytonsville, MD, United States  
Swindell, Charles S., Merion, PA, United States  
Shashoua, Victor E., Brookline, MA, United States

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2001002404	A1	20010531
APPLICATION INFO.:	US 2000-730450	A1	20001205 (9)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1996-651428, filed on 22 May 1996, ABANDONED		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	APPLICATION		
LEGAL REPRESENTATIVE:	Edward R. Gates, Wolf, Greenfield & Sacks, P.C., 600 Atlantic Avenue, Boston, MA, 02210		
NUMBER OF CLAIMS:	12		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	14 Drawing Page(s)		
LINE COUNT:	2511		
CAS INDEXING IS AVAILABLE FOR THIS PATENT.			
AB	The invention provides conjugates of fatty acids and pharmaceutical agents useful in treating noncentral nervous system conditions. Methods for selectively targeting pharmaceutical agents to desired tissues are provided.		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

DETD . . . make-up the newly synthesized material in the following solution: 100 g neat DHA-taxol plus 100 g of vehicle (100 ml **propylene glycol**, 70 mg alph-tocopherol, 5 mg dialaurylthiodipropionic acid, 50 mg ascorbic acid) prepared and held under argon in amber, sealed vials. . .

DETD . . . famciclovir; fampridine; fantofarone; faropenem; fasidotril; fasudil; fazarabine; fedotozine; felbamate; fenofibrate; fenoldopam; fenretinide; fenspiride; fenticonazole; fepradinol; ferpifosate sodium; ferristene; ferrixan; ferumoxsil; **fexofenadine**; flavopiridol; flecainide; flerobuterol; fleroxacin; flesinoxan; flezelastine; flobufen; flomoxef; florfenicol; florifenine; flosatidil; fluasterone; fluconazole; fludarabine; flumazenil; flumecinol; flumequine; flunarizine; fluocalcitriol; fluorodaunorunicin. . .

DETD . . . tablets, or lozenges, each containing a predetermined amount of the active compound. Other compositions include suspensions in aqueous liquors or **non-aqueous** liquids such as a syrup, an elixir, or an emulsion.

L9 ANSWER 3 OF 7 USPATFULL

ACCESSION NUMBER: 1999:96377 USPATFULL  
TITLE: Methods for treating urinary incontinence using descarboethoxyloratadine  
INVENTOR(S): McCullough, John R., Worcester, MA, United States  
PATENT ASSIGNEE(S): Sepracor Inc., Marlborough, MA, United States (U.S.)

blessing/09834312

corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5939426		19990817
APPLICATION INFO.:	US 1997-808116		19970228 (8)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Moezie, Minna		
LEGAL REPRESENTATIVE:	Pennie & Edmonds LLP		
NUMBER OF CLAIMS:	7		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	2 Drawing Figure(s); 1 Drawing Page(s)		
LINE COUNT:	1145		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Methods for treating urinary incontinence comprising administering a therapeutically effective amount of descarboethoxyloratadine, or a pharmaceutically acceptable salt thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

DETD . . . as peanut oil, cottonseed oil, safflower oil, sesame oil, olive

oil, corn oil and soybean oil; (10) glycols, such as **propylene glycol**; (11) polyols, such as glycerin, sorbitol, mannitol and polyethylene glycol; (12) esters, such as ethyl oleate and ethyl laurate; (13).

DETD . . . basis, usually sucrose and acacia or tragacanth), powders, granules, or as a solution or a suspension in an aqueous or **non -aqueous** liquid, or as an oil-in-water or water-in-oil liquid emulsion, or as an elixir or syrup, or as pastilles (using an.

DETD . . . or suspended in a liquid vehicle or carrier, such as vegetable or mineral oils, glycols such as polyethylene glycol and **propylene glycol**, triglycerides, surfactants such as polysorbates, or a combination thereof.

DETD . . . other solvents, solubilizing agents and emulsifiers, such as ethyl alcohol, isopropyl alcohol, ethyl carbonate, ethyl acetate, benzyl

alcohol, benzyl benzoate, **propylene glycol**, 1,3-butylene glycol, oils (in particular, cottonseed, groundnut, corn, germ, olive, castor and sesame oils), glycerol, tetrahydrofuryl alcohol,

polyethylene glycols and. . .  
DETD . . . the cold ligands ere from Sigma or RBI. The drugs tested in this experiment were astemizole; norastemizole; loratadine; DCL, (S)(-) **terfenadine carboxylate**, and (S)(-) terfenadine.

L9 ANSWER 4 OF 7 USPATFULL

ACCESSION NUMBER: 1999:4908 USPATFULL  
TITLE: Isoxazole compounds as cyclooxygenase inhibitors  
INVENTOR(S): Talley, John J, Brentwood, MO, United States  
PATENT ASSIGNEE(S): G. D. Searle & Co., Skokie, IL, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5859257		19990112
APPLICATION INFO.:	US 1996-702417		19960814 (8)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1995-473884, filed		

blessing/09834312

on 7 Jun 1995, now patented, Pat. No. US 5633272 which  
is a continuation-in-part of Ser. No. US 1995-387680,  
filed on 13 Feb 1995, now abandoned

DOCUMENT TYPE: Utility  
FILE SEGMENT: Granted  
PRIMARY EXAMINER: Richter, Johann  
ASSISTANT EXAMINER: Stockton, Laura L.  
LEGAL REPRESENTATIVE: Bullock, Joseph W.  
NUMBER OF CLAIMS: 8  
EXEMPLARY CLAIM: 1  
LINE COUNT: 4582

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A class of substituted isoxazoly compounds is described for use in  
treating cyclooxygenase-2 related disorders. Compounds of particular  
interest are defined by Formula I ##STR1## wherein R.sup.1, R.sup.2,  
and  
R.sup.3, are described in the specification.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM 4-[4-[4-(aminosulfonyl)phenyl]-3-phenylisoxazol-5-yl]methoxy]  
**benzeneacetic acid**;

DETD . . . aqueous phase of the cream base may include, for example at  
least 30% w/w of a polyhydric alcohol such as **propylene**  
**glycol**, butane-1,3-diol, mannitol, sorbitol, glycerol,  
polyethylene glycol and mixtures thereof. The topical formulation may  
desirably include a compound which enhances absorption. . . .

DETD . . . leakage from tubes or other containers. Straight or branched  
chain, mono- or dibasic alkyl esters such as di-isoadipate, isocetyl  
stearate, **propylene glycol** diester of coconut fatty  
acids, isopropyl myristate, decyl oleate, isopropyl palmitate, butyl  
stearate, 2-ethylhexyl palmitate or a blend of branched. . . .

DETD . . . a dispersion of active compound in hydroxypropylmethyl  
cellulose. Formulations for parenteral administration may be in the  
form

of aqueous or **non-aqueous** isotonic sterile injection  
solutions or suspensions. These solutions and suspensions may be  
prepared from sterile powders or granules having one. . . . or  
diluents

mentioned for use in the formulations for oral administration. The  
compounds may be dissolved in water, polyethylene glycol,  
**propylene glycol**, ethanol, corn oil, cottonseed oil,  
peanut oil, sesame oil, benzyl alcohol, sodium chloride, and/or various  
buffers. Other adjuvants and modes. . . .

L9 ANSWER 5 OF 7 USPATFULL

ACCESSION NUMBER: 1998:98932 USPATFULL  
TITLE: DHA-pharmaceutical agent conjugates of taxanes  
INVENTOR(S): Shashoua, Victor E., Brookline, MA, United States  
Swindell, Charles S., Merion, PA, United States  
Webb, Nigel L., Bryn Mawr, PA, United States  
Bradley, Matthews O., Laytonsville, MD, United States  
PATENT ASSIGNEE(S): Neuromedica, Inc., Conshohocken, PA, United States  
(U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5795909		19980818
APPLICATION INFO.:	US 1996-651312		19960522 (8)

blessing/09834312

DOCUMENT TYPE: Utility  
FILE SEGMENT: Granted  
PRIMARY EXAMINER: Jarvis, William R. A.  
LEGAL REPRESENTATIVE: Wolf, Greenfield & Sacks, P.C.  
NUMBER OF CLAIMS: 12  
EXEMPLARY CLAIM: 1  
NUMBER OF DRAWINGS: 27 Drawing Figure(s); 14 Drawing Page(s)  
LINE COUNT: 2451

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention provides conjugates of cis-docosaehaenoic acid and taxanes useful in treating cell proliferative disorders. Conjugates of paclitaxel and docetaxel are preferred.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

DETD . . . make-up the newly synthesized material in the following solution: 100 g neat DHA-taxol plus 100 g of vehicle (100 ml propylene glycol, 70 mg alph-tocopherol, 5 mg dialaurylthiodipropionic acid, 50 mg ascorbic acid) prepared and held under argon in amber, sealed vials. . . .  
DETD . . . famciclovir; fampridine; fantofarone; faropenem; fasidotril; , fasudil; fazarabine; fedotozine; felbamate; fenofibrate; fenoldopam; fenretinide; fenspiride; fenticonazole; fepradinol; ferpifosate sodium; ferristene; ferrixan; ferumoxsil; **fexofenadine**; flavopiridol; flecainide; flerobutanol; fleroxacin; flesinoxan; flezelastine; flobufen; flomoxef; florfenicol; florifenine; flosatidil; fluasterone; fluconazole; fludarabine; flumazenil; flumecinol; flumequine; flunarizine; fluocalcitriol; fluorodaunorubicin. . . .  
DETD . . . tablets, or lozenges, each containing a predetermined amount of  
the active compound. Other compositions include suspensions in aqueous liquors or **non-aqueous** liquids such as a syrup, an elixir, or an emulsion.

L9 ANSWER 6 OF 7 USPATFULL

ACCESSION NUMBER: 95:52339 USPATFULL  
TITLE: Modified gangliosides and the functional derivatives thereof  
INVENTOR(S): Della Valle, Francesco, Padua, Italy  
Romeo, Aurelio, Rome, Italy  
PATENT ASSIGNEE(S): Fidia S.p.A., Abano Terme, Italy (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5424294		19950613
APPLICATION INFO.:	US 1993-138184		19931020 (8)
RELATED APPLN. INFO.:	Division of Ser. No. US 1990-611700, filed on 13 Nov 1990, now patented, Pat. No. US 5264424		

	NUMBER	DATE
PRIORITY INFORMATION:	IT 1989-4855489	19891114
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Robinson, Douglas W.	
ASSISTANT EXAMINER:	Fonda, Kathleen Kahler	
NUMBER OF CLAIMS:	2	
EXEMPLARY CLAIM:	1	

blessing/09834312

LINE COUNT: 2605

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB N-acyl-N,N'-di-lysogangliosides, N'-acyl-N,N'-di-lysogangliosides and N,N'-diacyl-N,N'-di-lysogangliosides, in which the acyl groups are derived from an organic acid of the aliphatic, aromatic, araliphatic, alicyclic or heterocyclic series and in which at least one of the two acyl groups is not aliphatic, and their preparation are disclosed. Also disclosed is the preparation of the esters, inner esters, amides and hydroxy peracylates of these compounds and salts thereof. These compounds are useful in the treatment of pathologies of the central and peripheral nervous systems.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

DETD . . . propyl alcohol and isopropyl alcohol, n-butyl alcohol, isobutyl

alcohol, and tert-butyl alcohol, and of the bivalent alcohols, ethylene glycol and **propylene glycol**. Of the alcohols of the araliphatic series, should be mentioned in particular those with one single benzene ring, such as. . .

DETD . . . 4-quinolincarboxy acid, 4-(2-thienyl)-butyric acid, 3-thiopheneacetic acid, 2-thiopheneacetic acid, 2-(methylthio)-nicotinic

acid, pyridylthioacetic acid, tetrazol-1-acetic acid, .alpha.-oxo-2furanacetic acid, (methoxymino)-2-furanacetic acid, 2-.alpha.-(methoxymino)-4-thiazolacetic acid, .alpha.-[[(4-ethyl-2,3-dioxo-1-piperazinyl)carbonyl]amino]-**benzeneacetic acid**, 1,3-dithiane-2-carboxy acid, 3-(2-chlorophenyl)-5-methyl-4-isoxazolcarboxy acid, 3-(2-chloro-6-fluoro-phenyl)-5-methyl-4-isoxazolcarboxy acid, and 3-(2,6-dichlorophenyl)-4-isoxazolcarboxy acid.

DETD . . . lactone structures. The procedure of the aforesaid patents for the formation of inner esters comprises treating a ganglioside in a **non-aqueous** organic solvent under anhydrous conditions with a lactonizing agent. Suitable organic solvents include dimethylsulfoxide, dimethylformamide, sulfolane, tetrahydrofuran, dimethoxyethane, pyridine or. . .

L9 ANSWER 7 OF 7 USPATFULL

ACCESSION NUMBER: 93:98368 USPATFULL

TITLE: Modified gangliosides and the functional derivatives thereof

INVENTOR(S): Della Valle, Francesco, Padova, Italy  
Romeo, Aurelio, Rome, Italy

PATENT ASSIGNEE(S): Fidia S.p.A., Abano Terme, Italy (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5264424		19931123
APPLICATION INFO.:	US 1990-611700		19901113 (7)

	NUMBER	DATE
PRIORITY INFORMATION:	IT 1990-4855489	19901113
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Husarik, Nancy S.	
NUMBER OF CLAIMS:	4	



blessing/09834312

EXEMPLARY CLAIM: 1,3  
LINE COUNT: 2552

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB N-acyl-N,N'-di-lysogangliosides, N'-acyl-N,N'-di-lysogangliosides and N,N'-diacyl-N,N'-di-lysogangliosides, in which the acyl groups are derived from an organic acid of the aliphatic, aromatic, araliphatic, alicyclic or heterocyclic series and in which at least one of the two acyl groups is not aliphatic, and their preparation are disclosed. Also disclosed is the preparation of the esters, inner esters, amides and hydroxy peracylates of these compounds and salts thereof. These compounds are useful in the treatment of pathologies of the central and peripheral nervous systems.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . propyl alcohol and isopropyl alcohol, n-butyl alcohol, isobutyl

alcohol, and tert-butyl alcohol, and of the bivalent alcohols, ethylene glycol and **propylene glycol**. Of the alcohols of the araliphatic series, should be mentioned in particular those with one single benzene ring, such as. . .

SUMM . . . acid, 4-(2-thienyl)-butyric acid, 3-thiopheneacetic acid, 2-thiopheneacetic acid, 2-(methylthio)-nicotinic acid, 4-pyridylthioacetic acid, tetrazol-1-acetic acid, .alpha.-oxo-2-furanacetic acid, (methoxymino)-2-furanacetic acid, 2-.alpha.-(methoxymino)-4-thiazolacetic acid, .alpha.-[[4-ethyl-2,3-dioxo-1-piperazinyl)carbonyl]amino]-**benzeneacetic acid**, 1,3-dithiane-2-carboxy acid, 3-(2-chlorophenyl)-5-methyl-4-isoxazolcarboxy acid, 3-(2-chloro-6-fluoro-phenyl)-5-methyl-4-isoxazolcarboxy acid, and 3-(2,6-dichlorophenyl)-4-isoxazolcarboxy

acid.

SUMM . . . lactone structures. The procedure of the aforesaid patents for the formation of inner esters comprises treating a ganglioside in a **non-aqueous** organic solvent under anhydrous conditions with a lactonizing agent. Suitable organic solvents include dimethylsulfoxide, dimethylformamide, sulfolane, tetrahydrofuran, dimethoxyethane, pyridine or. . .